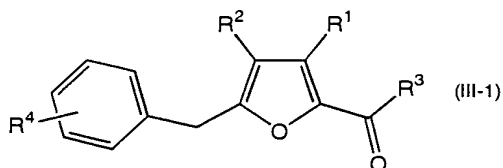
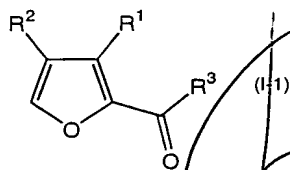


CLAIMS

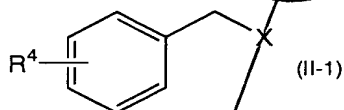
1. A process for the preparation of a compound of the formula (III-1):



- 5 wherein R¹ and R² each is independently hydrogen, optionally substituted alkyl, optionally substituted alkoxy or halogen; R³ is optionally substituted alkyl or optionally substituted alkoxy; and R⁴ is hydrogen, optionally substituted alkyl, optionally substituted alkoxy or halogen, which comprises reacting a compound of the formula (I-1):

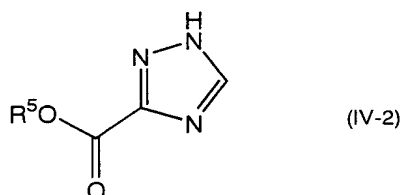


- 10 wherein R¹, R² and R³ each is as defined above, with a compound of the formula (II-1):

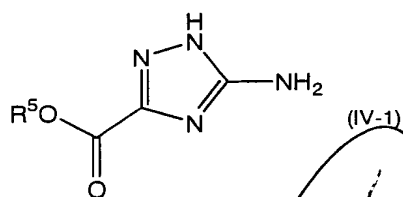


- 15 wherein R⁴ is as defined above; and X is halogen, in the presence of a Lewis acid.
2. The process according to claim 1 wherein a reaction solvent is methylene chloride.
3. The process according to claim 1 wherein a reaction solvent is water.
4. The process according to any one of claims 1-3 wherein R³ is methyl.
- 20 5. The process according to any one of claims 1-4 wherein R¹ and R² each is hydrogen.
6. The process according to any one of claims 1-5 wherein R⁴ is 4-fluoro.

7. A process for the preparation of a compound of the formula (IV-2):



wherein R⁵ is hydrogen or optionally substituted alkyl,
which comprises reacting a compound of the formula (IV-1):



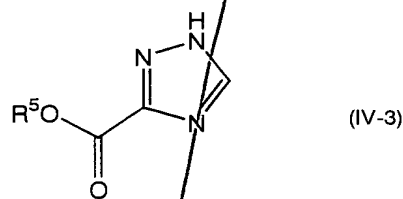
wherein R⁵ is as defined above,
with an alkaline metal nitrite or an alkaline-earth metal nitrite in the
presence of a reducing agent.

8. The process according to claim 7 which comprises reacting a compound of
the formula (IV-1) with an alkaline metal nitrite in the presence of
hypophosphorous acid as the reducing agent.

9. The process according to claim 7 or 8 which is carried out under the
addition of a small amount of alcohol.

10. The process according to any one of claims 7-9 wherein R⁵ is hydrogen.

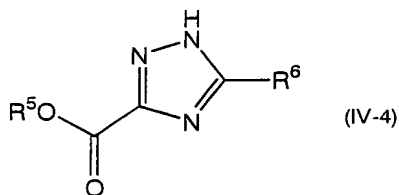
11. A process for the preparation of a compound of the formula (IV-3):



wherein R⁵ is optionally substituted alkyl,
which comprises preparing 1,2,4-triazole-3-carboxylic acid through the

process according to claim 10 and esterifying the obtained compound,

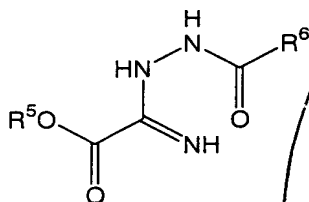
12. A process for a compound of the formula (IV-4):



wherein R⁵ is hydrogen or optionally substituted alkyl; and R⁶ is hydrogen,

5 optionally substituted alkyl or optionally substituted aryl,

which comprises cyclizing a compound of the formula (V):



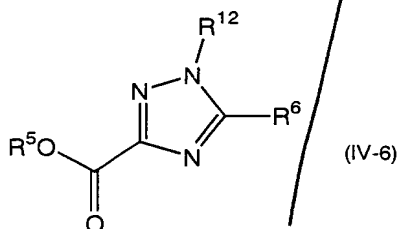
wherein R⁵ and R⁶ are as defined above,

in the presence of trialkylorthoester or a catalytic amount of an acid.

10 13. The process according to claim 12 wherein R⁵ is optionally substituted alkyl.

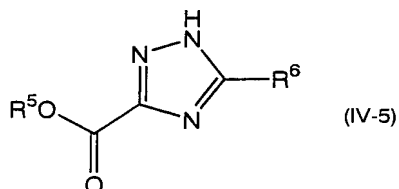
14. The process according to claim 12 wherein R⁵ is optionally substituted alkyl; and R⁶ is hydrogen.

15. A process for the preparation of a compound of the formula (IV-6):



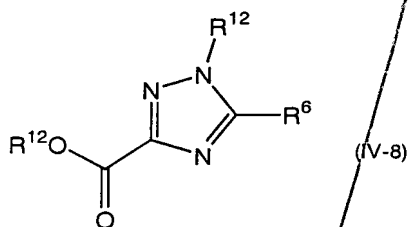
wherein R⁵ is optionally substituted alkyl; R⁶ is hydrogen, optionally substituted alkyl or optionally substituted aryl; and R¹² is a group of the formula: -R⁷ wherein R⁷ is trityl, optionally substituted sulfamoyl or

optionally substituted alkoxymethyl, a group of the formula: $-C(OR^8)R^9-CHR^{10}R^{11}$ wherein R^8 is optionally substituted alkyl; R^9 , R^{10} and R^{11} each is independently hydrogen or optionally substituted alkyl; or R^8 and R^{10} may be taken together to form optionally substituted alkylene, or hydroxymethyl,
5 which comprises preparing a compound of the formula (IV-5):

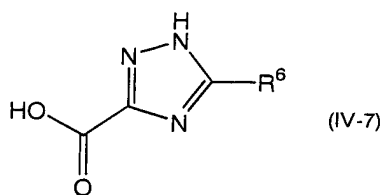


wherein R^5 and R^6 are as defined above, through the process according to any one of claims 7-9 and 11-14 and reacting the obtained compound with a compound of the formula: R^7X wherein R^7 is as defined above; and X is halogen,
10 a compound of the formula: $(R^8O)R^9C=CR^{10}R^{11}$ wherein R^8 , R^9 , R^{10} and R^{11} are as defined above, or formaldehyde.

16. A process of the preparation of a compound of the formula (IV-8):



wherein R^6 is hydrogen, optionally substituted alkyl or optionally substituted aryl; and R^{12} is a group of the formula: $-R^7$ wherein R^7 is trityl, optionally substituted sulfamoyl or optionally substituted alkoxymethyl, a group of the formula: $-C(OR^8)R^9-CHR^{10}R^{11}$ wherein R^8 is optionally substituted alkyl; R^9 , R^{10} and R^{11} each is independently hydrogen or optionally substituted alkyl, or R^8 and R^{10} may be taken together to form optionally substituted alkylene, or
15 hydroxymethyl, which comprises preparing a compound of the formula (IV-7):
20



wherein R^6 is as defined above, through the process according to claim 10 or 12, and reacting the obtained compound with a compound of the formula: R^7X wherein R^7 is as defined above; and X is halogen, a compound of the formula:

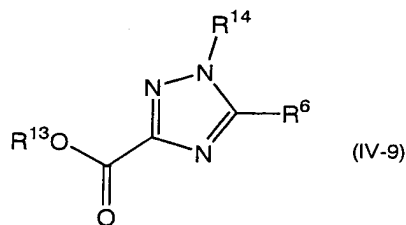
5 $(R^8O)R^9C=CR^{10}R^{11}$ wherein R^8 , R^9 , R^{10} and R^{11} are as defined above, or formaldehyde.

17. The process according to claim 15 or 16 which comprises reacting with a compound of the formula: R^7X wherein R^7 is trityl.

18. The process according to claim 15 or 16 which comprises reacting with a compound of the formula: $(R^8O)R^9C=CR^{10}R^{11}$ wherein R^8 and R^{10} are taken together to form trimethylene; and R^9 and R^{11} each is hydrogen.

19. The process according to claim 15 or 16 which comprises reacting with a compound of the formula: $(R^8O)R^9C=CR^{10}R^{11}$ wherein R^8 and R^9 each is methyl; and R^{10} and R^{11} each is hydrogen.

15 20. A compound of the formula (IV-9):



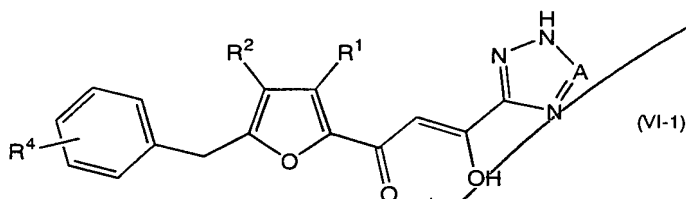
wherein R^6 is hydrogen or alkyl; R^{13} is alkyl, a group of the formula: $-R^7$ wherein R^7 is trityl, optionally substituted sulfamoyl or alkoxymethyl, a group of the formula: $-C(OR^8)R^9-CHR^{10}R^{11}$ wherein R^8 is alkyl; R^9 , R^{10} and R^{11}

20 each is independently hydrogen or alkyl; or R^8 and R^{10} may be taken together to form alkylene, or hydroxymethyl; and R^{14} is a group of the formula: $-R^7$

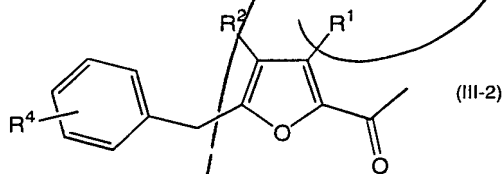
wherein R^7 is as defined above, a group of the formula: $-C(OR^8)R^9-CHR^{10}R^{11}$ wherein R^8 , R^9 , R^{10} and R^{11} are defined above, or hydroxymethyl, provided that a compound wherein R^6 is hydrogen; R^{13} is methyl; and R^{14} is trityl, a compound wherein R^6 is hydrogen; R^{13} is methyl; and R^{14} is tetrahydropyran-2-yl, and a compound wherein R^6 is hydrogen; R^{13} is ethyl; and R^{14} is trityl are excluded.

21. The compound according to claim 20 wherein R^6 is hydrogen; R^{13} is methyl or ethyl; R^{14} is tetrahydropyran-2-yl, hydroxymethyl, methoxymethyl, ethoxymethyl, N,N-dimethylsulfamoyl, (1-methoxy-1-methyl)ethyl, (1-ethoxy)ethyl, (1-ethoxy-1-methyl)ethyl, (1-n-propoxy)ethyl, (1-n-butoxy)ethyl or (1-isobutoxy)ethyl.

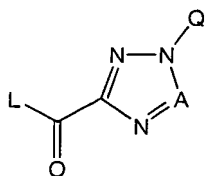
22. A process for the preparation of a compound of the formula (VI-1):



wherein R^1 , R^2 and R^4 each is independently hydrogen, optionally substituted alkyl, optionally substituted alkoxy or halogen; A is CR^6 or N; and R^6 is hydrogen, optionally substituted alkyl or optionally substituted aryl, which comprises preparing a compound of the formula (III-2):



wherein R^1 , R^2 and R^4 are as defined above, through the process according to claim 4, reacting the compound of the formula (III-2) with a compound of the formula (IV-10):



(IV-10)

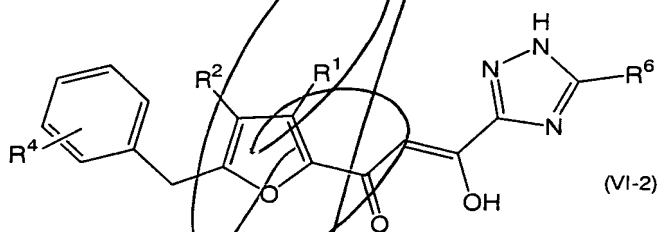
wherein A is as defined above, Q is a protecting group; and L is a leaving group, in the presence of a base, and deprotecting Q.

23. The process according to claim 22 wherein R¹ and R² each is hydrogen; and R⁴ is halogen.

24. The process according to claim 22 or 23 wherein R⁴ is 4-fluoro.

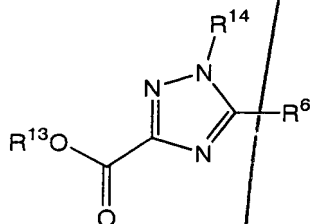
25. The process according to any one of claims 22-24 wherein A is CH.

26. A process for the preparation of a compound of the formula (IV-2):



(VI-2)

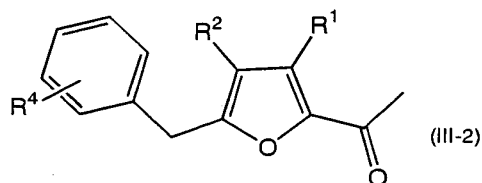
wherein R¹, R² and R⁴ each is independently hydrogen, optionally substituted alkyl, optionally substituted alkoxy or halogen; and R⁶ is hydrogen, optionally substituted alkyl or optionally substituted aryl, which comprises preparing a compound of the formula (IV-11):



(IV-11)

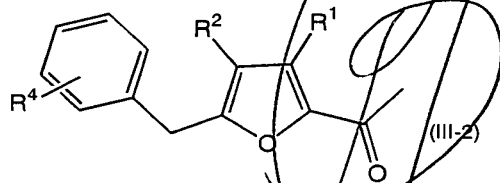
wherein R⁶ is as defined above, R¹³ is optionally substituted alkyl, a group of the formula: -R⁷ wherein R⁷ is trityl, optionally substituted sulfamoyl or optionally substituted alkoxymethyl, a group of the formula: -C(OR⁸)R⁹-CHR¹⁰R¹¹ wherein R⁸ is alkyl; R⁹, R¹⁰ and R¹¹ each is independently hydrogen or optionally substituted alkyl; or R⁸ and R¹⁰ may be taken together to form

alkylene, or hydroxymethyl; and R^{14} is a group of the formula: $-R^7$ wherein R^7 is as defined above, a group of the formula: $-C(OR^8)R^9-CHR^{10}R^{11}$ wherein R^8 , R^9 , R^{10} and R^{11} are defined above, or hydroxymethyl, through the process according to claim 15 or 16, reacting the obtained compound with a compound
 5 of the formula(III-2):



wherein R^1 , R^2 and R^4 are as defined above, and deprotecting R^{14} ,

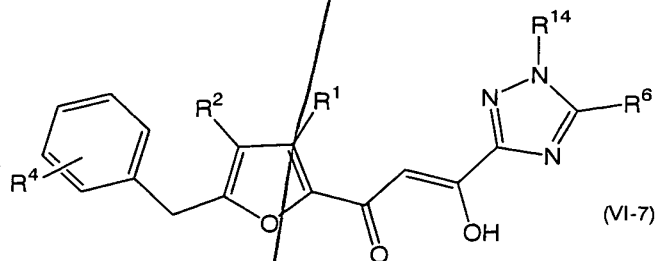
27. The process according to claim 26 which comprises preparing the compound of the formula (III-2):



wherein R^1 , R^2 and R^4 each is independently hydrogen, optionally substituted alkyl, optionally substituted alkoxy or halogen through the process according to claim 4.

28. The process according to claim 26 or 27 wherein R^1 , R^2 and R^6 each is
 15 hydrogen; and R^4 is halogen.

29. A compound of the formula (VI-7):

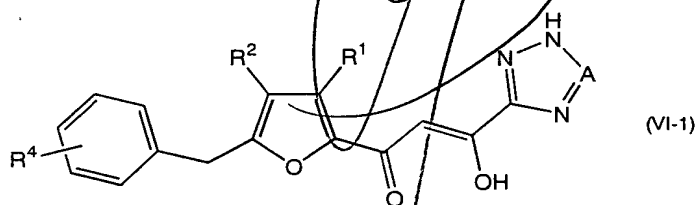


wherein R^1 , R^2 and R^4 each is independently hydrogen, optionally substituted alkyl, optionally substituted alkoxy or halogen; R^6 is hydrogen, optionally

substituted alkyl or optionally substituted aryl; and R¹⁴ is a group of the formula: -R⁷ wherein R⁷ is trityl, optionally substituted sulfamoyl or optionally substituted alkoxymethyl, a group of the formula: -C(OR⁸)R⁹-CHR¹⁰R¹¹ wherein R⁸ is alkyl; R⁹, R¹⁰ and R¹¹ each is independently hydrogen or optionally substituted alkyl; or R⁸ and R¹⁰ may be taken together to form alkylene, or hydroxymethyl.

30. The compound according to claim 29 wherein R⁴ is 4-fluoro, R¹, R² and R⁶ each is hydrogen, and R¹⁴ is trityl, tetrahydropyran-2-yl, hydroxymethyl, methoxymethyl, ethoxymethyl, N,N-dimethylsulfamoyl, (1-methoxy-1-methyl)ethyl, (1-ethoxy)ethyl, (1-ethoxy-1-methyl)ethyl, (1-n-propoxy)ethyl, (1-n-butoxy)ethyl or (1-isobutoxy)ethyl.

31. A crystal of an isomer having a chemical structure of the formula (VI-1):



wherein A is CR⁶ or N; R⁶ is hydrogen, optionally substituted alkyl or optionally substituted aryl; and R¹, R² and R⁴ each is independently hydrogen, optionally substituted alkyl, optionally substituted alkoxy or halogen.

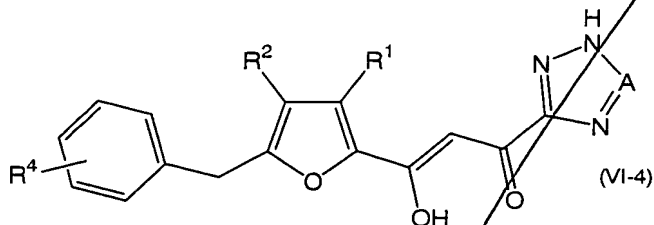
32. The crystal according to claim 31 wherein R¹ and R² each is hydrogen; R⁴ is p-fluoro; and A is CH.

33. The crystal according to claim 32 of which crystal parameters by single crystal X-ray diffraction are unit cell constants a = 32.432(2) Å, b = 10.886(2) Å, c = 7.960(2) Å, α = 90.00°, β = 90.00°, γ = 90.00°, V = 2810(1) Å³, Z = 8, a space group Pbca; and density of 1.481 g/cm³.

34. The crystal according to claim 32 of which diffraction angles (2θ) of main peaks by powder X-ray diffraction are 20.380, 21.280, 21.340, 23.140, 23.360,

23.540, 25.860, 27.460, 27.500, 28.100, 28.180, 29.400 and 29.480 (degree).

35. A crystal of an isomer having a chemical structure of the formula (VI-4):



wherein A is CR⁶ or N; R⁶ is hydrogen, optionally substituted alkyl or optionally substituted aryl; and R¹, R² and R⁴ each is independently hydrogen, optionally substituted alkyl, optionally substituted alkoxy or halogen.

36. The crystal according to claim 35 wherein R¹ and R² each is hydrogen; R⁴ is p-fluoro; and A is CH.

37. The crystal according to claim 36 of which crystal parameters by single crystal X-ray diffraction are unit cell constants $a = 11.9003(7)\text{\AA}$, $b = 9.7183(5)\text{\AA}$, $c = 13.2617(8)\text{\AA}$, $\alpha = 90.00^\circ$, $\beta = 109.450(4)^\circ$, $\gamma = 90.00^\circ$, $V = 1446.2(1)\text{\AA}^3$ and $Z = 4$; a space group $P2_1/n$; and density of 1.439 g/cm^3 .

38. The crystal according to claim 36 of which diffraction angles (2θ) of main peaks by powder X-ray diffraction are 8.760, 19.600, 22.080, 23.760, 26.200, 27.580 and 29.080 (degree).

39. A crystal of an isomer of 1-[5-(4-fluorobenzyl)furan-2-yl]-3-hydroxy-3-(1H-1,2,4-triazole-3-yl)propenone of which diffraction angles (2θ) of main peaks by powder X-ray diffraction are 10.520, 13.860, 15.680, 18.160, 22.840, 26.180 and 28.120 (degree).